Supporting Information

A novel “mosaic-type” nanoparticle for selective drug-release targeting hypoxia cancer cells
Table S1. Effect of Surfactin, Compound 1 (Cy7), GA and Cy7-GA on cell viability in PC-3 cells. The IC$_{50}$ values in μM are presented in the table.

<table>
<thead>
<tr>
<th>Compound</th>
<th>IC$_{50}$ values (μM)</th>
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<tbody>
<tr>
<td>Surfactin</td>
<td>104.97 ± 0.77</td>
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<tr>
<td>Compound 1 (Cy7)</td>
<td>47.38 ± 1.69</td>
</tr>
<tr>
<td>GA</td>
<td>0.48 ± 0.035</td>
</tr>
<tr>
<td>Cy7-GA</td>
<td>1.12 ± 0.097</td>
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</tbody>
</table>
**Figure. S1.** In vitro release of (A) GA-Cy7/Cy5.5-NP and (B) GA-Cy7/Rho 110-NP in PBS solution. Each point represents mean ± SEM (n = 3).
Figure S2. Representative images obtained from PC-3 tumor-bearing mice showing HIf1α expression in tumor specimens. Original magnification, ×400; scale bars, 20 μm.
Figure S3. Biodistribution of GA-Cy7 and GA-Cy7-NP at 48 h post-injection averaged from 5 subjects was quantified. **p < 0.01.
Figure S4. Biodistribution of GA-Cy7/Cy5.5-NP at 48 h post-injection averaged from 5 subjects was quantified. Intraperitoneal group (A) for GA-Cy7 (excitation/emission, 745/820 nm) (B) for Cy5.5 (excitation/emission, 675/720 nm); Intratumorally group (C) for GA-Cy7(excitation/emission, 745/820 nm) (D) for Cy5.5 (excitation/emission, 675/720 nm).
Figure S5. Mice body weights monitored every week after tumor implantation.
Figure S6. Representative images obtained from treated and control mice showing H&E staining in heart, liver, spleen, lung and kidneys. Original magnification, ×400; scale bars, 20 μm.
Figure S7. Stability test of GA-Cy7-NP and GA-Cy7 in 10% FBS solution. (A) GA-Cy7-NP in the 1:20 molar ratio (GA-Cy7: surfactin, the concentration of GA-Cy7 was 50 μM). (B-C) free GA-Cy7 (the concentration was 50 μM) with DMSO and ethyl alcohol as hydrotropy agent.
Figure S8. The $^1$H NMR spectra of compound 1.

Figure S9. The $^{13}$C NMR spectra of compound 1.
Figure S10. The MS spectra of compound 1.
Figure S11. The $^1$H NMR spectra of compound 2.

Figure S12. The $^{13}$C NMR spectra of compound 2.
**Figure S13.** The MS spectra of compound 2.
Figure S14. The $^1$H NMR spectra of compound 3.

Figure S15. The $^{13}$C NMR spectra of compound 3.
Figure S16. The MS spectra of compound 3.
Figure S17. The $^1$H NMR spectra of compound GA-Cy7.

Figure S18. The $^{13}$C NMR spectra of compound GA-Cy7.
Figure S19. The MS spectra of compound GA-Cy7.
Figure S20. In vitro release of GA-Cy7-NP in water under normoxic environment (B) and under hypoxic environment (C). Each point represents mean ± SEM (n = 3).